



INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 240-204-WO	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/DK 03/00639	International filing date (day/month/year) 29.09.2003	Priority date (day/month/year) 30.09.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/08		
Applicant NEUROSEARCH AS et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

## 3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand  22.03.2004	Date of completion of this report  13.10.2004
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Ousset, J-B  Telephone No. +49 89 2399-8271 

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/DK 03/00639

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-33 as originally filed

**Claims, Numbers**

1-33 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 24,33

because:

☒ the said international application, or the said claims Nos. 33 relate to the following subject matter which does not require an international preliminary examination (*spécify*):

**see separate sheet**

☒ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 24 are so unclear that no meaningful opinion could be formed (*specify*):

**see separate sheet**

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes: Claims	1-23,25-32
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-23,25-32
Industrial applicability (IA)	Yes: Claims	1-23,25-32
	No: Claims	

**2. Citations and explanations**

**see separate sheet**

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**SECTION III**

- 1). Claim 33 relates to the treatment of human and/or animal bodies. According to Rule 67(1)(iv) an examination is not required for such a claim.
- 2). Claim 24 does not relate to the therapeutic use but to a mechanism. This renders the claim unclear.

**SECTION V**

- 3). Relevant prior art is represented by:

- D1: WO 01 92259 A (SANOFI SYNTHELABO ;LECLERC ODILE (FR); GALLI FREDERIC (FR); LOCHEA) 6 December 2001 (2001-12-06)
- D2: WO 01 92260 A (SANOFI SYNTHELABO ;LECLERC ODILE (FR); GALLETT THIERRY (FR); GALLI) 6 December 2001 (2001-12-06)
- D3: WO 00 34279 A (SANOFI SYNTHELABO ;JEUNESSE JEAN (FR); NEDELEC ALAIN (FR); EVEN LU) 15 June 2000 (2000-06-15)
- D4: WO 01 55150 A (SANOFI SYNTHELABO ;LECLERC ODILE (FR); NEDELEC ALAIN (FR); GALLI F) 2 August 2001 (2001-08-02)
- D5: EP-A-1 219 622 (PFIZER PROD INC) 3 July 2002 (2002-07-03)

- 4). The claimed matter is novel vis-à-vis D1-D5, since none of these documents discloses compounds containing a five-membered ring as core moiety having three heteroatoms.

- 5). D2 represents the closest prior art, because it differs from the content of the current claims by the fact that a carbon atom of the thiazolyl moiety of D2 has been replaced by a nitrogen atom.

The problem underlying the current application appears to be the provision of further polycyclic compounds useful to treat CNS disorders.

The proposed solution is represented by the compounds of claim 1.

The data on page 33 of the description show that this problem has been credibly solved.

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From D2 alone or in combination with any other documents the skilled person would not find in these document the hint, which would let him know that the replacement of a carbon atom in the five-membered ring by a nitrogen atom would lead to compounds which retain the CNS activity.

An inventive step cannot however be acknowledged on the whole claimed scope. If the mere replacement of an atom by another one leads to an unexpected effect (here the retention of the activity), it has not been made credible that for the same skilled person it is obvious that the numerous claimed variations lead all to compounds solving the given problem.

Moreover, it is emphasized that the wording of the claims contains unlimited terms, which therefore lead to an unlimited "number of compounds, which cannot inherently possess the claimed activity.

Inventive step is not acknowledged.

6). For the assessment of the present claim 33 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.